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APPLICANT : EISAI CO LTD;

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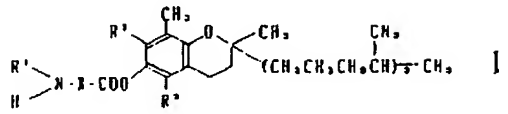
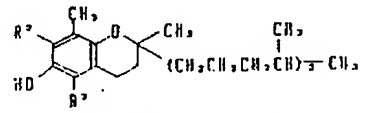
TITLE : TOCOPHEROL AMINOALKYLCARBOXYLIC ACID ESTER AND SALT THEREOF



I

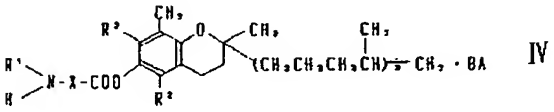


II

(式中、R^2、R^3 は前記の意味を有する)

III

(式中、R^1およびXは前記の意味を有する)



IV

ABSTRACT : NEW MATERIAL:The compound of formula I (R^1 is H or lower alkyl; R^2 and R^3 are H or CH_3; X is alkylene derived from 1-7C alkyl) and its hydrohalogenic acid salt.

EXAMPLE: dl-α-Tocopherol aminoacetic acid ester hydrochloride.

USE: A drug. It can be easily hydrolyzed in vivo to form a free tocopherol and is easily soluble in water to enable the preparation of a solution having a concentration of ≥100mM. A bile acid salt of formula IV (BA is bile acid) having extremely low hemolytic activity can be produced from the subject compound.

PREPARATION: The compound of formula I can be easily produced by conventional esterification of tocopherols of formula II with an aminoalkylcarboxylic acid of formula III or its reactive derivative or their hydrohalogenic acid salt e.g. by directly esterifying in a solvent (e.g. pyridine) in the presence of an active esterification reagent (e.g. dicyclohexylcarbodiimide).